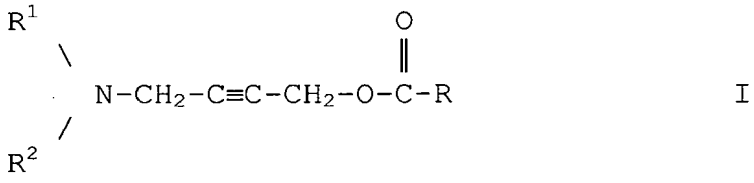
Claims

1. 4-(N-substituted amino)-2-butynyl-1-esters represented by the following general formula I, their bis-(2-butynyl)diesters and pharmaceutically acceptable salts thereof,



I

wherein

R is a hydrogen atom; a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms which is unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, halogen, epoxy, amino, mercapto, a phenyl ring which is unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, epoxy, amino, mercapto or halogen; a cycloalkyl group with 4 to 7 atoms unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, epoxy, amino, mercapto or halogen,

R^1 and R^2 are joined to form a heterocyclic ring with 3 to 6 C-atoms, unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, halogen, epoxy, amino, mercapto, whereby at least one C-atom can be replaced by O, S or N,

or

R^1 and R_2 are the same or different a hydrogen atom, a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms, unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, halogen, epoxy, amino, mercapto,

2. 4-(N-substituted amino)-2-butynyl-1-esters according to claim 1,
wherein
R is a hydrogen atom, a straight-chained or branched alkyl group with 1-12 C-atoms, which can be substituted one or more times by C_1-C_6-alkyl; a phenyl ring which can be substituted one or more times by C_1-C_6-alkyl; a cyclo alkyl ring with 5-6 C-atoms which can be substituted one or more times by C_1-C_6-alkyl.

3. 4-(N-substituted amino)-2-butynyl-1-esters according to claim 1 or 2,
wherein
R^1 and R^2 are the same alkyl group with 1-12 C-atoms, which can be straight-chained or branched and substituted by C_1-C_6-alkyl,
or
R^1 and R^2 are joined to form a heterocyclic ring with 4 to 6 C-atoms, whereby at least one C-atom can be replaced by O, S or N, and the ring can be substituted by C_1-C_6-alkyl.

4. 4-(N-substituted amino)-2-butynyl-1-esters according to one of claims 1 to 3,

wherein

R is a hydrogen atom, a straight-chained or branched alkyl group with 1-6 C-atoms, which can be substituted one or more times by C_1-C_6-alkyl; a phenyl ring which can be substituted one or more times by C_1-C_6-alkyl; a cyclo alkyl ring with 5-6 C-atoms which can be substituted one or more times by C_1-C_6-alkyl,

and

R^1 and R^2 are the same alkyl group with 1-6 C-atoms, which can be straight-chained or branched and substituted by C_1-C_6-alkyl,

or

R^1 and R^2 are joined to form a heterocyclic ring with 4 to 6 C-atoms, whereby at least one C-atom can be replaced by O, S or N, and the ring can be substituted by C_1-C_6-alkyl.

5. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 4,

wherein

R is H or alkyl such as methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, tertiary butyl and cyclohexyl

and

R^1 and R^2 are identically methyl, ethyl, propyl, butyl or phenyl; or form together with the N-atom a piperidino, pyrrolidino, morpholino, thiomorpholino, hexamethylene imino, piperazino and methyl piperazino ring.

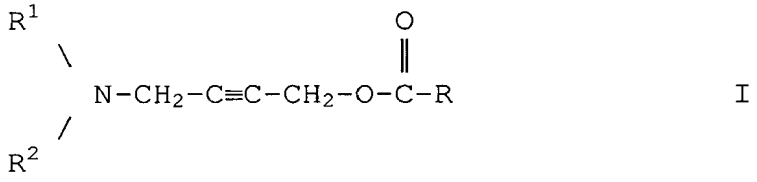
6. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 5,
wherein 4-(N-substituted amino)-2-butynyl-1-esters are selected from the group comprising
- [N-(4-morpholino-2-butynyl)] acetate
- [N-(4-piperidino-2-butynyl)] acetate
- [N-(4-(N-methyl piperazino-2-butynyl)] acetate
- [N-(4-thiomorpholino-2-butynyl)] acetate
- [N-(4-pyrrolidino-2-butynyl)] acetate
- [N-(4-hexamethylene imino-2-butynyl)] acetate
- [N-(4-morpholino-2-butynyl)] benzoate
- [N-(4-morpholino-2-butynyl)] formate
- [N-(4-diethylamino-2-butynyl)] acetate
- [N-(4-diphenylamino-2-butynyl)] acetate
- [N-(4-morpholino-2-butynyl)] propionate
- [N-(4-thiomorpholino-2-butynyl)] propionate
- [N-(4-morpholino-2-butynyl)] pivalate
- [N,N'-(4,4-piperazino-bis-2-butynyl)] diacetate
- [N-(4-morpholino-2-butynyl)] cyclohexyl carboxy late.

7. Method for producing 4-(N-substituted amino)-2-butynyl-1-esters or a pharmaceutically acceptable salt according to anyone of claims 1 - 6 comprising
- a successive conversion of a propargyl alcohol in a propargyl ester by simple esterification,
- a conversion of the propargyl ester in N-(4-amino-2-butynyl) ester by Mannich condensation to give a compound of formula I and, if desired converting a compound of formula I to a corresponding pharmaceutically salt by conventional means.

8. Method according to claim 7, characterized in that, the Mannich condensation is performed in the presence of paraformaldehyd, an acid catalyst, Cu-salts and a solvent.

9. Pharmaceutical composition for use in therapy, comprising a compound according to anyone of claims 1 to 6, and a pharmaceutically-acceptable carriers, adjuvants, vehicles and/or diluents.

10. Use of 4-(N-substituted amino)-2-butynyl-1-esters represented by the following general formula I, their bis-(2-butynyl)diesters and pharmaceutically acceptable salts thereof,



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C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, epoxy, amino, mercapto or halogen,

R^1 and R^2 are joined to form a heterocyclic ring with 3 to 6 C-atoms, unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, halogen, epoxy, amino, mercapto, whereby at least one C-atom can be replaced by O, S or N,

or

R^1 and R_2 are the same or different a hydrogen atom, a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms, unsubstituted or substituted one or more times by C_1-C_6-alkyl, C_1-C_6-alkoxy, hydroxy, halogen, epoxy, amino, mercapto,

for manufacturing an agent for the treatment of a cell proliferative disorder.

11. Use according to claim 10, characterized in that, the cell proliferative disorder is a neoplasia.

12. Use according to claim 10 or 11, characterized in that, the neoplasia the neoplasia is selected from the group consisting of leukemias, lymphomas, sarcomas, carcinomas, neural cell tumors, squamous cell carcinomas, germ cell tumors, undifferentiated tumors, seminomas, melanomas, neuroblastomas, mixed cell tumors, metastatic neoplasia and neoplasia due to pathogenic infections.